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        FEB 23
                 and 2009 MeSH terms
NEWS 20 FEB 23
                TOXCENTER updates mirror those of MEDLINE - more
                 precise author group fields and 2009 MeSH terms
NEWS 21 FEB 23
                 Three million new patent records blast AEROSPACE into
                 STN patent clusters
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                EPFULL backfile enhanced with additional full-text
                 applications and grants
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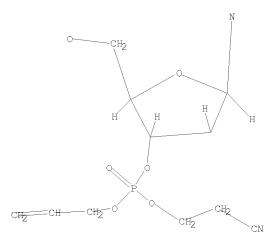
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=> s 13

6 L3 L4

=> d bib abs hitstr 1-6 14

- ANSWER 1 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN T.4
- AN 2007:1199729 CAPLUS
- 148:55317 DN
- Synthesis of cyclic bis(3'-5')-2'-deoxyquanylic/quanylic acid (c-dGpGp) TΙ and its biological activities to microbes
- ΑIJ Mano, Erina; Hyodo, Mamoru; Sato, Yumi; Ishihara, Yuka; Ohta, Michio; Hayakawa, Yoshihiro
- CS Graduate School of Information Science/Human Informatics and CREST of JST, Nagoya University, Furo-cho, Chikusa, Nagoya, 464-8601, Japan
- SO ChemMedChem (2007), 2(10), 1410-1413
- CODEN: CHEMGX; ISSN: 1860-7179 PВ Wiley-VCH Verlag GmbH & Co. KGaA
- DT Journal
- English LA

10/564,476

OS CASREACT 148:55317

AB In this study, the authors describe a novel synthetic method for preparation of cyclic bis(3'-5')-2'-deoxyguanylic/guanylic acid (c-dGpGp). The effect of c-dGpGp on the biofilm formation and motility of several bacteria was examined C-diGMP promoted the motility of P. aeruginosa and V. parahaemolyticus, but repressed the motility of S. typhimurium; on the other hand, c-dGpGp weakly repressed the motility of all of the bacteria. The conformational difference in c-dGpGp and c-diGMP may be one of the factors causing their different biol. properties.

T 960065-34-3P 960065-36-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of cyclic bis-deoxyguanylic guanylic acid and its effect on motility of some bacteria) $\,$

RN 960065-34-3 CAPLUS

CN 3'-Guanylic acid, 2'-deoxy-N-[(dimethylamino)methylene]-, 2-cyanoethyl 2-propen-1-yl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 960065-36-5 CAPLUS

CN 3'-Guanylic acid, N-[(dimethylamino)methylene]-2'-0-[(1,1-dimethylethyl)dimethylsilyl]-P-2-propen-1-ylguanylyl-(3'→5')-N-[(dimethylamino)methylene]-, 3'-(2-cyanoethyl) 3'-(2-propen-1-yl) ester (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

PAGE 1-A

CN

PAGE 1-B

3'-Guanylic acid, 2'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-

[(dimethylamino)methylene]-, 2-cyanoethyl 2-propenyl ester (9CI) (CA

Absolute stereochemistry. Double bond geometry unknown.

INDEX NAME)

bis(3'-5')guanylic/inosinic acid) 827602-96-0 CAPLUS

RN 885370-28-5 CAPLUS

CN 3'-Inosinic acid, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-6-O-[2-(4-nitrophenyl)ethoxy]-, 2-cyanoethyl 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

CN

Absolute stereochemistry. Double bond geometry unknown.

PAGE 1-B

RN 885370-26-3 CAPLUS

CN 3'-Guanylic acid, P-(2-cyanoethyl)-2'-0-[(1,1-dimethylethyl)dimethylsilyl]N-(phenoxyacetyl)adenylyl-(3'-5')-N-[(dimethylamino)methylene]-2'-0[(1,1-dimethylethyl)dimethylsilyl]-, 2-cyanoethyl 2-propenyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

PAGE 1-B

N 885370-29-6 CAPLUS

CN 3'-Guanylic acid, P-(2-cyanoethyl)-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-6-O-[2-(4-nitrophenyl)ethyl)inosinylyl-(3'->5')-N-[(dimethylamino)methylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 2-cyanoethyl 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

PAGE 1-B

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 3 OF 6 CAPLUS COPYRIGHT 2009 ACS ON STN
AN 2005:58224 CAPLUS
DN 142:156269
TI Method of synthesizing cyclic dinucleotide
IN Hayakawa, Yoshihiro
PA Mitsui Chemicals, Inc., Japan
SO PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION
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| I AIN. | PATENT | KIND | | DATE | | APPLICATION NO. | | | | | | DATE | | | | | | |
|--------|----------------|--------------|-----|-------------|-------------|-----------------|----------|----------------|----------------|-----|-----|------|-----|-----|-----------------|-----|-----|--|
| PI | | 0 2005005450 | | | | | 20050120 | | WO 2004-JP7000 | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
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| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | ΝI, | |
| | | NO, | NΖ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | |
| | | ΤJ, | TM, | TN, | TR, | TΤ, | TΖ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | |
| | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | ΤZ, | UG, | ZM, | ZW, | AM, | |
| | | ΑZ, | BY, | KG, | KΖ, | MD, | RU, | ТJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | | EE, | ES, | FΙ, | FR, | GB, | GR, | ΗU, | IE, | ΙT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | |
| | | SI, | SK, | TR, | BF, | ΒJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML , | MR, | NE, | |
| | | SN, | TD, | TG | | | | | | | | | | | | | | |
| | EP 1645 | 1645561 | | | A1 20060412 | | | | EP 2004-733482 | | | | | | 20040517 | | | |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙT, | LΙ, | LU, | NL, | SE, | MC, | PT, | |
| | | ΙE, | SI, | FΙ, | RO, | CY, | TR, | BG, | CZ, | EE, | HU, | PL, | SK | | | | | |
| | US 20060167241 | | | A1 20060727 | | | | US 2006-564476 | | | | | | 2 | 20060113 | | | |
| PRAI | JP 2003 | -274 | 389 | | Α | | 2003 | 0715 | | | | | | | | | | |

WO 2004-JP7000 20040517

MARPAT 142:156269 OS

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

A compound represented by the general formula (I) (wherein R2, R3 = H, halo, OMe, 2-methoxyethoxy, HO; B2, B3 = a nucleic acid base) or a salt thereof can be synthesized from a compound represented by the general formula (II) (wherein R1 = H, halo, OMe, 2-methoxyethoxy, HO substituted by a hydroxy-protecting group; B1 = an optionally protected nucleic acid base). Cyclic bis(3'→5')dinucleotide I is useful as an anticancer agent (no data). Thus, N2-(allyloxycarbonyl)-06-allyl-2'-0-(tertbutyldimethylsilyl)-5'-0-(4,4'-dimethoxytrityl)guanosine 3'-0-(allyl N, N-diisopropylphosphoramidite) (III) was condensed with 2-cyanoethanol in the presence of imidazolium perchlorate and mol. sieve 3A in MeCN followed by treatment with imidazolium perchlorate for oxidation and then with dichloroacetic acid in CH2Cl2 for deprotection of 4,4'-dimethoxytrityl group gave quanosine phosphate triester (IV) (R = CH2CH2CN) which was similarly coupled with III to give dinucleotide IV (R=Q). IV (R=Q) was stirred with a mixture of 28% aqueous NH3 and MeOH at room temperature for 30 min, concentrated under reduced pressure, taken up in toluene three times and each time concentrated under reduced pressure, dissolved in THF, treated with N-methylimidazole and triisopropylbenzenesulfonyl chloride, and stirred at room temperature for 20 h to give protected cyclic dinucleotide (V) which was deprotected by treatment with Ph3P, n-butylamine, formic acid, and Pd2[(C6H4CH:CH)2CO]3.CHCl3 in THF at room temperature for 10 min and then with Et3N.3HF complex at room temperature for 12 h to give cyclic diquanylate I (B2 =B3 = guanine residue).609343-79-5P 609343-80-8P 827602-96-0P 827602-97-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (method of synthesizing anticancer cyclic dinucleotide and intermediates thereof) 609343-79-5 CAPLUS RN

CN

[(2-propenyloxy)carbonyl]-, 2-cyanoethyl 2-propenyl ester (9CI) (CA INDEX

Absolute stereochemistry.

609343-80-8 CAPLUS

CN 3'-Guanylic acid, 2'-0-[(1,1-dimethylethyl)dimethylsilyl]-P-2-propenyl-6-0- $2-propenyl-N-[(2-propenyloxy) carbonyl] \\ guanylyl-(3 \rightarrow 5')-2'-0-[(1,1-y)] \\ guanyl$ $\label{lem:lemma$ 2-cyanoethyl 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 827602-96-0 CAPLUS

3'-Guanylic acid, 2'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-CN[(dimethylamino)methylene]-, 2-cyanoethyl 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN

827602-97-1 CAPLUS 3'-Guanylic acid, N-[(dimethylamino)methylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-P-2-propenylguanylyl-(3' \rightarrow 5')-N-[(dimethylamino)methylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 2-cyanoethyl 2-propenyl ester (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Double bond geometry unknown.

PAGE 1-B

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2004:1038011 CAPLUS
- DN 142:156254
- TI An improved method for synthesizing cyclic bis(3'-5')diguanylic acid (c-di-GMP)
- AU Hyodo, Mamoru; Hayakawa, Yoshihiro
- CS Graduate School of Information Science/Human Informatics and CREST JST, Nagoya University, Nagoya, 464-8601, Japan
- Nagoya University, Nagoya, 464-8601, Japan SO Bulletin of the Chemical Society of Japan (2004), 77(11), 2089-2093 CODEN: BCSJA8; ISSN: 0009-2673
- PB Chemical Society of Japan
- DT Journal
- LA English
- OS CASREACT 142:156254
- This paper describes a new method for synthesizing biol. important cyclic bis(3'-5')diguanylic acid (c-di-GMP) in a higher yield than that previously reported to be available by our synthetic method. In the new synthesis, the following two means, in place of those used in the previously reported synthesis, are employed as main strategies to obtain an increase in product yield. One is the use of di-tert-butylsilanediyl protection for 3'- and 5'-hydroxy groups of guanosine; this method allows regioselective production of a 2'-O-(tert-butyldimethylsilyl)guanosine derivative that is a key intermediate for the synthesis. The other is the use of a dimethylformamidine group as a protector for the 2-NH2 function of the guanine base, which can be easily introduced and results in an excellent yield.
- IT 827602-96-0P 830330-55-7P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of cyclic bis(3'-5')diguanylic acid using di-tert-butylsilanediyl protection of the 3' and 5' hydroxy groups and dimethylformamidine to protect the amino group of the guanine base)

RN 827602-96-0 CAPLUS

CN 3'-Guanylic acid, 2'-O-[(1,1-dimethylethyl)dimethylsilyl]-N[(dimethylamino)methylene]-, 2-cyanoethyl 2-propenyl ester (9CI) (CA
INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 830330-55-7 CAPLUS

CN 3'-Guanylic acid, P-(2-cyanoethyl)-N-[(dimethylamino)methylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]guanylyl-(3'->5')-N[(dimethylamino)methylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-,
2-cyanoethyl 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-B

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 5 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN L4
- 2003:677651 CAPLUS AN
- DN 140:199576
- A new synthetic approach to cyclic bis($3' \rightarrow 5'$)diguanylic acid TT
- ΑU
- Kawai, Rie; Nagata, Reiko; Hirata, Akiyoshi; Hayakawa, Yoshihiro Graduate School of Human Informatics, Nagoya University, Nagoya, 464-8601, CS Japan
- SO Nucleic Acids Research Supplement (2003), 3(3rd International Symposium on Nucleic Acids Chemistry [and] 30th Symposium on Nucleic Acids Chemistry in Japan, 2003), 103-104 CODEN: NARSCE
- PB Oxford University Press
- DT Journal
- English LA
- A symposium. We developed a novel synthesis of biol. important cyclic bis(3' \to 5')diguanylic acid (cGpGp). The present synthesis includes AΒ two strategies different from those employed in an existing synthesis. They are the phosphoramidite method for the preparation of a guanyly1(3' -> 5') guanylic acid intermediate and allyl protection for guanine bases and internucleotide linkages. These distinctive strategies have allowed the new synthesis to provide the target compound in a higher yield than that of the existing synthesis.
- 609343-79-5P 609343-80-8P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (synthesis of cyclic bis(3'→5')diguanylic acid via
 - phosphoramidite method and allyl protection for guanine bases and internucleotide linkages)
- RN 609343-79-5 CAPLUS
- 3'-Guanylic acid, 2'-O-[(1,1-dimethylethyl)dimethylsilyl]-6-O-2-propenyl-N-[(2-propenyloxy)carbonyl]-, 2-cyanoethyl 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- 609343-80-8 CAPLUS RN
- 3'-Guanylic acid, 2'-0-[(1,1-dimethylethyl)dimethylsilyl]-P-2-propenyl-6-0-CN 2-propenyl-N-[(2-propenyloxy)carbonyl]guanylyl-(3 \rightarrow 5')-2'-0-[(1,1-2-cyanoethyl 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
- 2003:598480 CAPLUS AN
- DN 139:292443
- A facile synthesis of cyclic bis(3'→5')diguanylic acid TΙ
- Hayakawa, Yoshihiro; Nagata, Reiko; Hirata, Akiyoshi; Hyodo, Mamoru; ΑU Kawai, Rie
- CS Laboratory of Bioorganic Chemistry, Graduate School of Human Informatics, Nagoya University, Nagoya, 464-8601, Japan Tetrahedron (2003), 59(34), 6465-6471
- SO
 - CODEN: TETRAB; ISSN: 0040-4020
- PB Elsevier Science B.V.
- DT Journal
- English LΑ
- CASREACT 139:292443 OS
- This paper describes a new method for synthesizing biol. important cyclic bis(3' \rightarrow 5')diguanylic acid (cGpGp) in a higher yield than that of the existing synthetic method. In the new synthesis, the following two means, in place of those used in the existing synthesis are employed as main strategies to cause the increase in product yield. One of these distinctive strategies in the new synthesis is that the phosphoramidite method is used for the preparation of a key synthetic intermediate of a linear guanylyl(3' \rightarrow 5')guanylic acid derivative This method allowed higher-yield formation of the intermediate than that by the triester method used in the existing synthesis. The second distinctive strategy used in the new synthesis is that allyloxycarbonyl and allyl groups are used for the protection of two guanine bases and two internucleotide bonds, resp. These four allylic protectors can be removed all at once by the organopalladium-catalyzed reaction under neutral conditions. Thus, deprotection of the protected cGpGp precursor was achieved in the present synthesis in a shorter step and under milder conditions than the deprotection achieved in the existing synthesis, which uses diphenylacetyl

and o-chlorophenyl groups as protectors for two guanine bases and two internucleotide bonds, resp., whose full removal requires two different procedures including rather harsh basic treatment. As a result, tech. loss and decomposition of the target product in the new synthesis is remarkably reduced.

609343-79-5P 609343-80-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of cyclic diguanylic acid dinucleotides using allyloxycarbonyl and allyl protecting groups) 609343-79-5 CAPLUS

RN

 $\begin{tabular}{ll} 3'-Guanylic acid, & 2'-O-[(1,1-dimethylethyl)dimethylsilyl]-6-O-2-propenyl-N-Constraints & (2,2) & (2,2$ CN [(2-propenyloxy)carbonyl]-, 2-cyanoethyl 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

609343-80-8 CAPLUS

CN 2-propenyl-N-[(2-propenyloxy)carbonyl]guanylyl-(3 $^{\circ}$ \rightarrow 5 $^{\circ}$)-2 $^{\circ}$ -0-[(1,1-) dimethylethyl)dimethylsilyl]-6-O-2-propenyl-N-[(2-propenyloxy)carbonyl]-, 2-cyanoethyl 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT